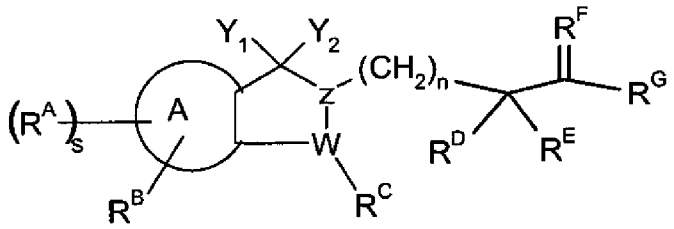
Applicant: Bansi Lal et al.
Application No.: 10/574,982

Clean Claim Set

1-24. Cancelled. /JK/

25. A compound of the general formula (I):

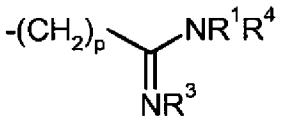


(I)

wherein

ring A is phenyl;

R^A is a group of formula (3):



(3)

wherein p is 0;

s is 1;

R^1 is selected from: H, hydroxy, alkyl, partially or fully fluorinated alkyl, alkoxy, alkenyl, alkynyl, carboxy, $-C(=O)OR^5$, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle;

R^3 and R^4 are independently selected from: H, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, $-C(=O)OR^5$, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, $-OR^5$, $-SR^5$, $-NR^5R^6$, $-S(=O)_2NR^5R^6$, $-S(=O)_2R^5$, $-C(=O)R^5$, $-C(=O)NR^5R^6$, $-C(=O)OR^5$, $-C(=O)SR^5$, $-OC(=O)R^5$, $-OC(=O)OR^5$, $-OC(=O)NR^5R^6$, $-OS(=O)_2R^5$, $-S(C=O)NR^5$ and $-OS(=O)_2NR^5R^6$, or R^3 and R^1 or R^4, together with

the respective nitrogen atoms to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered partially saturated or aromatic heterocycle, optionally having one or more additional heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR^5;

R^5 and R^6 are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkylalkyl group optionally contains at least one hetero atom selected from: N, S and O anywhere in the chain, including the terminal position;

R^B is H;

Y^1 and Y^2, together, are selected from: =O and =S;

Z is N;

W is CH;

R^C is H;

n is 0, 1, 2 or 3;

R^D and R^E are independently selected from: H and an unsubstituted or substituted group selected from: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkenyl, alkynyl, oxo, carboxy, -C(=O)OR^5, -OR^{17}, -SR^{17}, -$NR^{17}R^{18}$, -NHC(=O)R^{17}, -NHC(=O)OR^{17}, -OC(=O)R^{17}, -SC(=O)R^{17}, -$OS(=O)_2R^{17}$ and -$NHS(=O)_2R^{17}$;

R^{17} and R^{18} have the same meaning as R^5 and R^6, defined above;

R^F is selected from: O, S and N(OR^{19});

R^{19} has the same meaning as R^5, defined above;

R^G is selected from: aryl, heteroaryl, and partially or fully saturated heterocycle,

where said aryl, heteroaryl and heterocycle are substituted by one or more groups of the formula (5):

$T\text{-}(CH_2)_q\text{-}CR^{23}R^{24}\text{-}COR^{25}$ (5)

and optionally, further substituted by one or more groups selected from: $-R^5$, halogen, -CN, -SCN, -CNO, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, $-NO_2$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, $-N(R^{21})C(=O)OR^{22}$, $-N[S(=O)_2R^{21}]R^{23}$, $C(=O)OR^{21}$, $-S(=O)_2R^{21}$ and $-S(=O)_2OR^{21}$;

R^{21} has the same meaning as R^1, defined above, and R^2 is selected from: H, hydroxy, alkyl, partially or fully fluorinated alkyl, alkoxy, alkenyl, alkynyl, carboxy, $-C(=O)OR^5$, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle:

T is selected from: $-CH_2$, O, S and NH;

q is 0, 1, 2 or 3;

R^{23} and R^{24} are independently selected from: H, alkyl alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle and $C(=O)R^{25}$, wherein said alkyl and alkenyl optionally contain at least one hetero atom selected from: O, S and N, in any position of the alkyl or alkenyl chain, and said alkyl and alkenyl are unsubstituted or substituted with at least one group selected from: $-OR^1$, $-OC(=O)R^1$, $-OS(=O)_2R^1$, $-S(=O)_2NR^1R^2$, $-OC(=O)OR^1$, $-OC(=O)SR^1$, $-OC(=O)NR^1R^2$, $-SR^1$, $-S(=O)R^1$, -SC(=O)H, $-SC(=O)OR^1$, $-NR^1(OR^2)$, $-NR^1R^2$, $-NR^1C(=O)R^2$, $-N(R^1)C(=O)OR^2$, $-NR^1S(=O)_2R^2$, $C(=O)OR^1$, $-S(=O)_2R^1$ and $-S(=O)_2OR^1$;

R^{25} is selected from: OR^5, SR^5, $-OCR^3R^4$ and $-NR^5R^6$, wherein R^3, R^4, R^5 and R^6 are as defined above and wherein optionally, R^3 and R^4, together with the carbon to which they are attached, form an unsubstituted or substituted 5-, 6- or 7-membered saturated, partially saturated or aromatic heterocycle having one or

more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and $-C(=O)OR^5$; and the group NR^5R^6 is, optionally, a heterocycle containing at least one additional heteroatom selected from: O, S, and N;

in all its stereoisomeric and tautomeric forms and mixtures thereof in all ratios, and its pharmaceutically acceptable salts.

26. A compound according to claim 25, wherein

R^G is selected from: phenyl, piperidinyl and piperazinyl, and said phenyl, piperidinyl and piperazinyl are substituted by one or more groups of the formula (5):

$$T\text{-}(CH_2)_q\text{-}CR^{23}R^{24}\text{-}COR^{25} \qquad (5)$$

and optionally, further substituted by one or more groups selected from: $-R^5$, halogen, -CN, -SCN, -CNO, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, $-NO_2$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, $-N(R^{21})C(=O)OR^{22}$, $-N[S(=O)_2R^{21}]R^{23}$, $C(=O)OR^{21}$, $-S(=O)_2R^{21}$ and $-S(=O)_2OR^{21}$; and R^{21} and R^{22} are as defined in claim 25.

27. A compound according to claim 25, wherein

R_1 is hydrogen;

R_3 and R_4 are independently selected from: H, OH, -C(O)OH and –C(O)Oalkyl;

$R^B = R^C = R^D = R^E$ = hydrogen;

Y^1 and Y^2, together are =O;

n is the integer 0 or 1;

R^G is phenyl, substituted with one or more of the group of formula (5): T-$(CH_2)_q$-$CR^{23}R^{24}$-COR^{25}, wherein R^{23} is H and R^{24} is H, and, optionally, the compound is further substituted with one or more of the groups selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy, -C(=O)OR^5, SR^{21}, $S(=O)_2R^{21}$ and -N(R^{21})-C(O)CH_3, -CH_2C(O)R^{25};

and R^{25} is selected from: OR^5, OCR^3R^4 and NR^5R^6, wherein R^3 and R^4, together with the carbon to which they are attached form an unsubstituted or substituted 5-, 6- or 7- membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy, -C(=O)OR^5 ; and

R^5, R^6 and R^{21} are independently selected from: H, alkyl and phenyl.

28. A compound according to claim 25, wherein

R_1 is hydrogen;

R_3 and R_4 are independently selected from: H, OH, -C(O)OH and –C(O)Oalkyl;

$R^B = R^C = R^D = R^E$ = hydrogen;

Y^1 and Y^2, together are =O;

n is the integer 0 or 1;

R^G is selected from: piperidinyl and piperazinyl, wherein said piperidinyl and piperazinyl are substituted with one or more of the group of formula (5): T-$(CH_2)_q$-$CR^{23}R^{24}$-COR^{25}, wherein R^{23} is H and R^{24} is H and, optionally, further substituted with one or more groups selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and -C(=O)OR^5;

and

R^{25} is OR^5, wherein R^5 is selected from: H, alkyl and phenyl.

29. A compound according to claim 25 selected from:

(4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

4-(2-{5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl]-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
(4-{2-[5-(Imino-methanesulfonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;
(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy) -acetic acid isobutyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;
(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethoxy carbonyl methoxy-phenoxy)-acetic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–phenoxy)-acetic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-4-{2-[5-(imino-{3-methyl-butyrylamino}-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–phenoxy)-acetic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-1-hydroxyimino-ethyl}–phenoxy)-acetic acid ethyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–2-isobutoxy carbonyl methoxy-phenoxy)-acetic acid isobutyl ester;
2-(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-NN-diethyl-acetamide;

4-(2-{4-[2-(5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-phenoxy}-acetoxy)-piperidine-1-carboxylic acid benzyl ester;

4-Benzyloxycarbonylamino-2-(4-{2-[5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;

4-Benzyloxycarbonylamino-2-(4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenylsulfanyl)-acetic acid methyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-chloro-phenoxy)-acetic acid ethyl ester;

(2-Chloro-4-{2-[5-(imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethyl sulfanyl-phenoxy)-acetic acid ethyl ester;

(2-Ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethane sulfonyl-phenoxy)-acetic acid ethyl ester;

(2-Ethanesulfonyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2,6-Bis-ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Acetylamino-4-{2-[5-N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(imino-isobutoxy carbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(N-hydroxy carbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-methoxy-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-propoxy-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy carbonylmethoxy-phenoxy)-acetic acid ethyl ester;

(3-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;

(2-Ethylsulfanyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Ethyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(5-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-isopropyl-phenoxy)-acetic acid ethyl ester;

(2-*tert*-Butyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid benzyl ester;

(2-Ethyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid;

(4-Hydroxy-3-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-5-methoxy-phenoxy)-acetic acid ethyl ester;

(3,5-Dihydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-aceic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(1-{2S-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-3-(4-hydroxy-phenyl)-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{3-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-(5-Methyl-isoxazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-(*tert*-Butoxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid;

(3-Ethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-[2-(5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-3-ethoxy-phenoxy}-acetic acid ethyl ester;

(4-{2-[5-Carbamimdoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy-phenoxy)-acetic acid;

(3-Hydroxy-4-{2-[1-oxo-5-(5-oxo-2,5-dihydro-[1,2,4]oxadiazol-3-yl)-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-(Acetylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;
(3-Acetoxy-4-{2-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid ethyl ester;
(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid; and
(3-Allyloxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester.

30. A compound according to claim 27 selected from:
(4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;
(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
4-(2-{5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl]-phenoxy)-acetic acid isopropyl ester;
(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;
(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(Imino-methanesulfonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy) -acetic acid isobutyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;

(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethoxy carbonyl methoxy-phenoxy)-acetic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–phenoxy)-acetic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-4-{2-[5-(imino-{3-methyl-butyrylamino}-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–phenoxy)-acetic acid ethyl ester;
(2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-1-hydroxyimino-ethyl}–phenoxy)-acetic acid ethyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}–2-isobutoxy carbonyl methoxy-phenoxy)-acetic acid isobutyl ester;
2-(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-NN-diethyl-acetamide;
4-(2-{4-[2-(5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-phenoxy}-acetoxy)-piperidine-1-carboxylic acid benzyl ester;
4-Benzyloxycarbonylamino-2-(4-{2-[5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;
4-Benzyloxycarbonylamino-2-(4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;
(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenylsulfanyl)-acetic acid methyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-chloro-phenoxy)-acetic acid ethyl ester;
(2-Chloro-4-{2-[5-(imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(2-Chloro-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethyl sulfanyl-phenoxy)-acetic acid ethyl ester;

(2-Ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethane sulfonyl-phenoxy)-acetic acid ethyl ester;
(2-Ethanesulfonyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(2,6-Bis-ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(2-Acetylamino-4-{2-[5-N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(imino-isobutoxy carbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(N-hydroxy carbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;
(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid;
(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-methoxy-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-propoxy-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy carbonylmethoxy-phenoxy)-acetic acid ethyl ester;

(3-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;

(2-Ethylsulfanyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Ethyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(5-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-isopropyl-phenoxy)-acetic acid ethyl ester;

(2-*tert*-Butyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid benzyl ester;

(2-Ethyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid;

(4-Hydroxy-3-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-5-methoxy-phenoxy)-acetic acid ethyl ester;

(3,5-Dihydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-aceic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Ethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-[2-(5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-3-ethoxy-phenoxy}-acetic acid ethyl ester;

(4-{2-[5-Carbamimdoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy-phenoxy)-acetic acid;

(3-Hydroxy-4-{2-[1-oxo-5-(5-oxo-2,5-dihydro-[1,2,4]oxadiazol-3-yl)-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

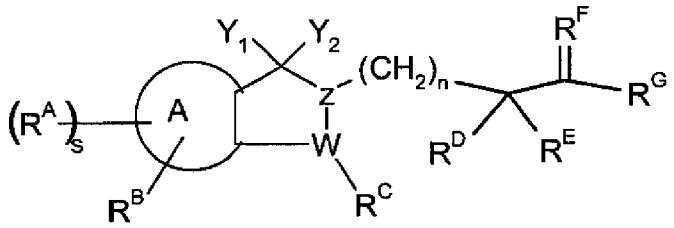
(4-{2-[5-(Acetylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;

(3-Acetoxy-4-{2-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid ethyl ester;
(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid; and
(3-Allyloxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester.

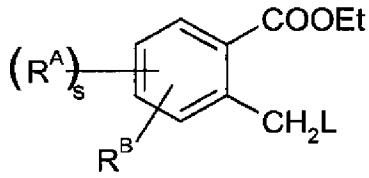
31. (Previously presented) A compound according to claim 28 selected from:
(1-{2S-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-3-(4-hydroxy-phenyl)-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
(1-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
(1-{3-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
(1-{2-[5-(5-Methyl-isoxazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
(1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;
(1-{2-[5-(*tert*-Butoxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester; and
(1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid.

32. A process for the preparation of the compound of claim 25 having the general formula (I):



(I)

wherein all symbols have the same meaning as defined in claim 25, the process comprising: (a) reacting a compound of formula (II):

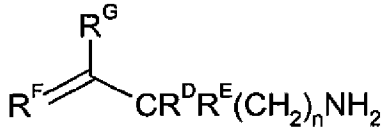


(II)

wherein

L is a leaving group; and all other symbols are as defined in claim 25; with

a compound of the formula (III):

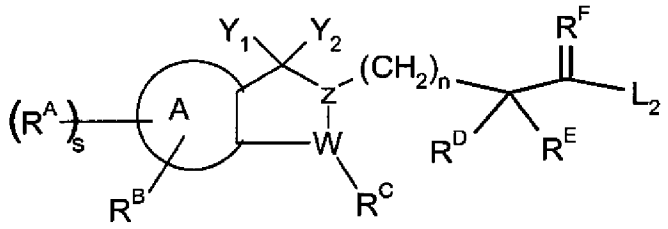


(III)

wherein all symbols are as defined in claim 25;

in the presence of an organic or inorganic base in an organic solvent or a mixture of at least two different organic solvents, at a temperature ranging from –40°C to 150°C, for 0.5 to16 h, to effect in situ cyclization to form a compound of the general formula (I) above, and, optionally, converting the compound into a physiologically tolerable salt; or

b) reacting a compound of the formula (IV)



(IV)

wherein

L_2 is a leaving group; and all other symbols are as defined in claim 25;

with a compound of the formula (V):

$$R^G—T(CH_2)_qCR^{23}R^{24}COR^{25} \qquad \textbf{(V)}$$

where R^G is selected from: piperidinyl, piperazinyl and phenyl, wherein said piperidinyl, piperazinyl and phenyl, are optionally substituted with 1, 2, 3 or 4 hydroxyl groups, and all other symbols are as defined in claim 25, in the presence of an organic or inorganic base in an organic solvent or water at a temperature ranging from 0°C to 150°C, for 0.5 to 12 h, to form a compound of the general formula (I), and, optionally, converting one or more of the hydroxyl groups into a group selected from the substituents for R^G as defined in general formula (I) and, optionally, converting the compound into a physiologically tolerable salt; alternatively, activating a compound of the formula (IV) above, wherein L_2 is -OH, by treatment with a mixed anhydride to form a peptide coupling with a compound of the formula (V), wherein R^G is piperidinyl or piperazinyl, and thereby provide a compound of the general formula (I), wherein R^G is piperidinyl or piperazinyl substituted with at least a group of the formula (5); and, optionally, converting the resultant compound into a physiologically tolerable salt.

33. A pharmaceutical composition, comprising a compound of formula (I) according to claim 25, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

34. A pharmaceutical composition for inhibiting the binding of fibrinogen to blood platelets, comprising a compound of formula (I) according to claim 25, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

35. A pharmaceutical composition for inhibiting the binding of fibrinogen to blood platelets, comprising a compound of formula (I) according to claim 25, or a pharmaceutically acceptable salt thereof, in combination with an antithrombotic agent and a pharmaceutically acceptable carrier.

36-44. Cancelled. /JK/